

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
23 December 2004 (23.12.2004)

PCT

(10) International Publication Number
WO 2004/110997 A1

(51) International Patent Classification⁷: **C07D 207/26**,
403/10, 417/12, 417/14, A61K 31/402, 31/4025, A61P
7/02

(21) International Application Number:
PCT/EP2004/006604

(22) International Filing Date: 17 June 2004 (17.06.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0314369.0 19 June 2003 (19.06.2003) GB
0405774.1 15 March 2004 (15.03.2004) GB

(71) Applicant (for all designated States except US): **GLAXO GROUP LIMITED** [GB/GB]; Glaxo Wellcome House, Berkeley Avenue, Greenford Middlesex UB6 0NN (GB).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **BORTHWICK, Alan, David** [GB/GB]; GlaxoSmithKline, Gunnels Wood

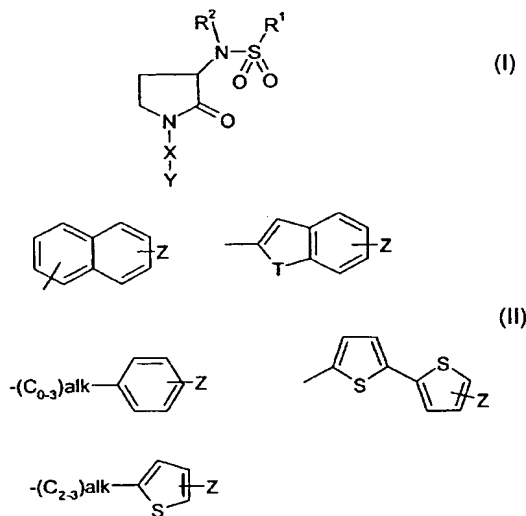
Road, Stevenage Hertfordshire SG1 2NY (GB). **HARLING, John, David** [GB/GB]; GlaxoSmithKline, Gunnels Wood Road, Stevenage Hertfordshire SG1 2NY (GB). **IRVING, Wendy, Rebecca** [GB/GB]; GlaxoSmithKline, Gunnels Wood Road, Stevenage Hertfordshire SG1 2NY (GB). **KLEANTHOUS, Savvas** [GB/GB]; GlaxoSmithKline, Gunnels Wood Road, Stevenage Hertfordshire SG1 2NY (GB). **WATSON, Nigel, Stephen** [GB/GB]; GlaxoSmithKline, Gunnels Wood Road, Stevenage Hertfordshire SG1 2NY (GB). **YOUNG, Robert, John** [GB/GB]; GlaxoSmithKline, Gunnels Wood Road, Stevenage Hertfordshire SG1 2NY (GB).

(74) Agent: **BAKER, Suzanne, Jane**; GlaxoSmithKline, Corporate Intellectual Property (CN925.1), 980 Great West Road, Brentford Middlesex TW8 9GS (GB).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,

[Continued on next page]

(54) Title: 3-SULFONYLAMINO-PYRROLIDINE-2-ONE DERIVATIVES AS INHIBITORS OF FACTOR XA



a group $-C(R^5)(R^2)C_{0-2}alkylnR^cR^d$; R_x represents $C_{1-4}alkyl$ optionally substituted by halogen (e.g. CF_3 , $-CH_2CF_3$); R^2 represents hydrogen or $C_{1-4}alkyl$ optionally substituted by halogen (e.g. CF_3 , $-CH_2CF_3$); R^c and R^d independently represent hydrogen, $-C_{1-4}alkyl$, $-C_{1-4}alkylOH$, or together with the N atom to which they are bonded form a 4-, 5-, 6- or 7- membered non-aromatic heterocyclic ring, the 5-, 6- or 7- membered non-aromatic heterocyclic ring optionally containing an additional heteroatom selected from O, N or S, optionally substituted by $C_{1-4}alkyl$; and/or pharmaceutically acceptable derivative thereof. The invention also relates to processes for the preparation of compounds of formula (I), pharmaceutical compositions containing compounds of formula (I) and to the use of compounds of formula (I) in medicine, particularly in the amelioration of a clinical condition for which a Factor Xa inhibitor is indicated.

WO 2004/110997 A1